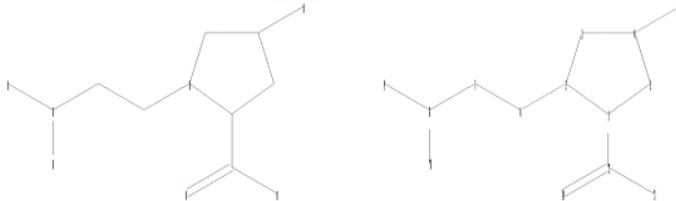


Uploading C:\Program Files\Stnexp\Queries\IV.str



chain nodes :  
6 7 8 9 10 11 12 13 14

ring nodes :  
1 2 3 4 5

chain bonds :  
1-12 2-6 4-11 6-7 7-8 8-9 8-10 12-13 12-14

ring bonds :  
1-2 1-5 2-3 3-4 4-5

exact/norm bonds :  
1-2 1-5 2-3 2-6 3-4 4-5 7-8 12-14  
exact bonds :  
1-12 4-11 6-7 8-9 8-10 12-13

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:CLASS

L1 STRUCTURE uploaded

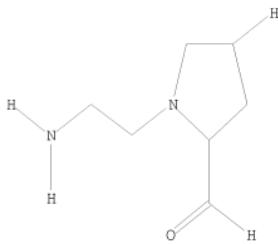
=> s l1  
SAMPLE SEARCH INITIATED 00:45:26 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 23635 TO ITERATE

8.5% PROCESSED 2000 ITERATIONS 0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 463499 TO 481901  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> d l1  
L1 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=>  
Uploading C:\Program Files\Stnexp\Queries\basic thing.str

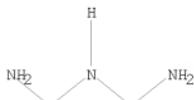


chain nodes :  
1 2 3 4 5 6  
chain bonds :  
1-4 1-2 1-6 2-3 4-5  
exact/norm bonds :  
1-4 1-2 2-3 4-5  
exact bonds :  
1-6

Match level :  
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS

L3 STRUCTURE UPLOADED

=> d 13  
L3 HAS NO ANSWERS  
L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 13  
SAMPLE SEARCH INITIATED 00:46:47 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 2973 TO ITERATE

67.3% PROCESSED 2000 ITERATIONS 50 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 56190 TO 62730  
PROJECTED ANSWERS: 8482 TO 11138

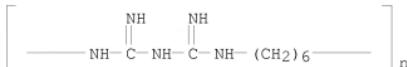
L4 50 SEA SSS SAM L3

=> d 1

L4 ANSWER 1 OF 50 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 1017571-63-9 REGISTRY  
ED Entered STN: 27 Apr 2008  
CN Poly(iminocarbonimidoyliminocarbonimidoylimino-1,6-hexanediyl),  
(2Z)-2-butenedioate (CA INDEX NAME)  
FS STEREOSEARCH  
MF (C8 H17 N5)n . x C4 H4 O4  
PCT Polyether, Polyether only  
SR CA  
LC STN Files: CA, CAPLUS

CM 1

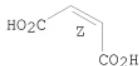
CRN 28757-47-3  
CMF (C8 H17 N5)n  
CCI PMS



CM 2

CRN 110-16-7  
CMF C4 H4 O4

Double bond geometry as shown.



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d his

(FILE 'HOME' ENTERED AT 00:42:49 ON 08 MAY 2008)

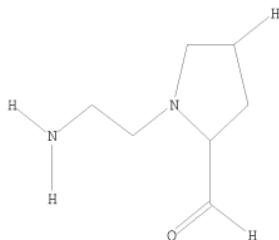
FILE 'REGISTRY' ENTERED AT 00:43:59 ON 08 MAY 2008

L1                   STRUCTURE UPLOADED  
L2                   0 S L1  
L3                   STRUCTURE UPLOADED  
L4                   50 S L3

=> d l1

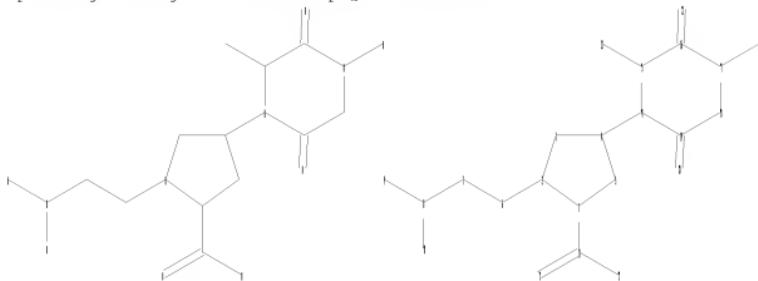
L1 HAS NO ANSWERS

L1                   STR



Structure attributes must be viewed using STN Express query preparation.

=>  
Uploading C:\Program Files\Stnexp\Queries\IVb.str



chain nodes :

6 7 8 9 10 11 12 13 20 21 22 23

ring nodes :

1 2 3 4 5 14 15 16 17 18 19

chain bonds :

```

1-11  2-6  4-14  6-7  7-8  8-9  8-10  11-12  11-13  15-23  16-22  17-21  19-20
ring bonds :
1-2   1-5  2-3  3-4  4-5   14-15  14-19  15-16  16-17  17-18  18-19
exact/norm bonds :
1-2   1-5  2-3  2-6  3-4  4-5   4-14  7-8   11-13  14-15  14-19  15-16  16-17  16-22
17-18  18-19  19-20
exact bonds :
1-11  6-7  8-9  8-10  11-12  15-23  17-21

```

```
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:CLASS
21:CLASS 22:CLASS 23:CLASS
```

## L5 STRUCTURE UPLOADED

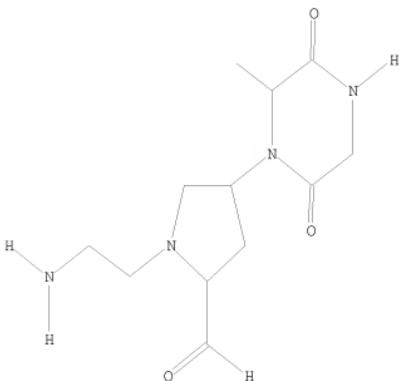
=> s 15  
SAMPLE SEARCH INITIATED 00:55:23 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED      4 ITERATIONS      0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 4 TO 200  
PROJECTED ANSWERS: 0 TO 0

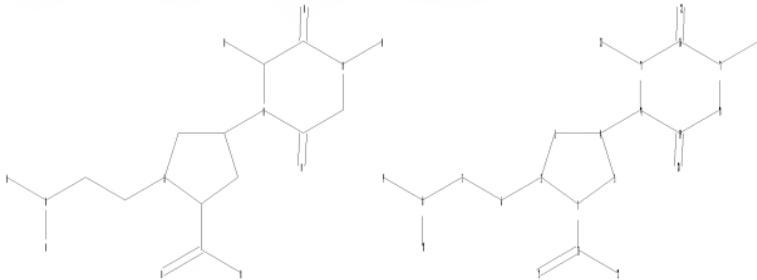
L6 Q SEA SSS SAM L5

=> d 15  
L5 HAS NO ANSWERS  
L5 STR



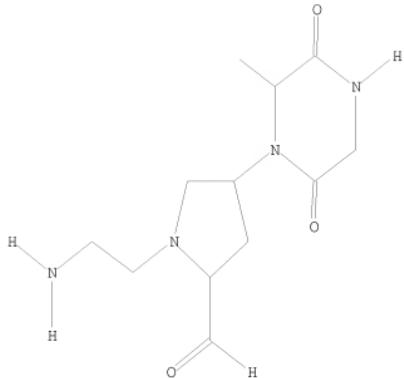
Structure attributes must be viewed using STN Express query preparation.

=>  
Uploading C:\Program Files\Stnexp\Queries\IVb2.str



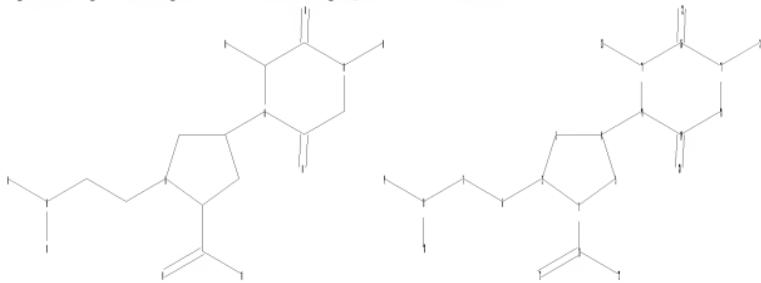
chain nodes :  
6 7 8 9 10 11 12 13 20 21 22 23  
ring nodes :  
1 2 3 4 5 14 15 16 17 18 19  
chain bonds :  
1-11 2-6 4-14 6-7 7-8 8-9 8-10 11-12 11-13 15-23 16-22 17-21 19-20  
ring bonds :  
1-2 1-5 2-3 3-4 4-5 14-15 14-19 15-16 16-17 17-18 18-19  
exact/norm bonds :  
1-2 1-5 2-3 2-6 3-4 4-5 4-14 7-8 11-13 14-15 14-19 15-16 16-17 16-22  
17-18 18-19 19-20  
exact bonds :  
1-11 6-7 8-9 8-10 11-12 15-23 17-21  
  
Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:CLASS  
21:CLASS 22:CLASS 23:CLASS

=> d 16  
L6 HAS NO ANSWERS  
L5 STR



Structure attributes must be viewed using STN Express query preparation.  
L6 0 SEA FILE=REGISTRY SSS SAM L5

=>  
Uploading C:\Program Files\Stnexp\Queries\IVb2.str



chain nodes :  
6 7 8 9 10 11 12 13 20 21 22 23  
ring nodes :  
1 2 3 4 5 14 15 16 17 18 19  
chain bonds :  
1-11 2-6 4-14 6-7 7-8 8-9 8-10 11-12 11-13 15-23 16-22 17-21 19-20  
ring bonds :  
1-2 1-5 2-3 3-4 4-5 14-15 14-19 15-16 16-17 17-18 18-19  
exact/norm bonds :  
1-2 1-5 2-3 2-6 3-4 4-5 4-14 7-8 11-13 14-15 14-19 15-16 16-17 16-22  
17-18 18-19 19-20

```
exact bonds :
1-11 6-7 8-9 8-10 11-12 15-23 17-21
```

```
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:CLASS
21:CLASS 22:CLASS 23:CLASS
```

```
=> d his
```

```
(FILE 'HOME' ENTERED AT 00:42:49 ON 08 MAY 2008)
```

```
FILE 'REGISTRY' ENTERED AT 00:43:59 ON 08 MAY 2008
```

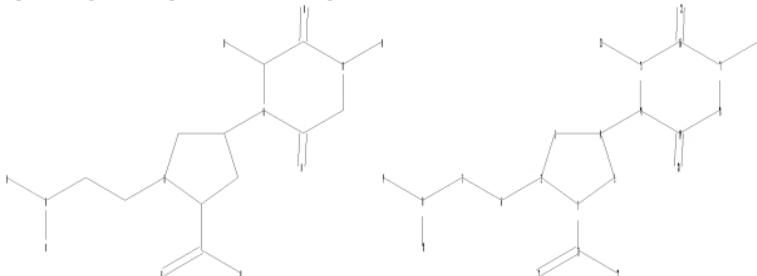
```
L1      STRUCTURE UPLOADED
L2      0 S L1
L3      STRUCTURE UPLOADED
L4      50 S L3
L5      STRUCTURE UPLOADED
L6      0 S L5
```

```
=> d 17
```

```
L7 NOT FOUND
```

```
The L-number entered has not been defined in this session, or it
has been deleted. To see the L-numbers currently defined in this
session, enter DISPLAY HISTORY at an arrow prompt (>).
```

```
=>
Uploading C:\Program Files\Stnexp\Queries\IVb2.str
```



```
chain nodes :
6 7 8 9 10 11 12 13 20 21 22 23
```

```
ring nodes :
```

```
1 2 3 4 5 14 15 16 17 18 19
```

```
chain bonds :
```

```
1-11 2-6 4-14 6-7 7-8 8-9 8-10 11-12 11-13 15-23 16-22 17-21 19-20
```

```
ring bonds :
```

```
1-2 1-5 2-3 3-4 4-5 14-15 14-19 15-16 16-17 17-18 18-19
```

```
exact/norm bonds :  
1-2  1-5  2-3  2-6  3-4  4-5  4-14  7-8  11-13  14-15  14-19  15-16  16-17  16-22  
17-18  18-19  19-20  
exact bonds :  
1-11  6-7  8-9  8-10  11-12  15-23  17-21
```

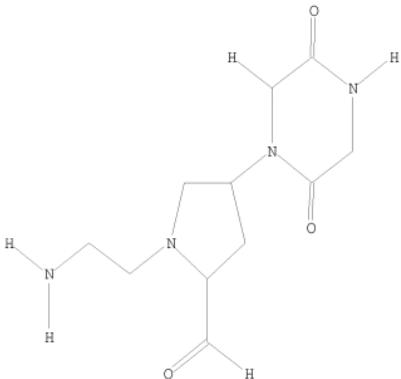
```
Match level :  
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:CLASS  7:CLASS  8:CLASS  9:CLASS  10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom  
20:CLASS 21:CLASS 22:CLASS 23:CLASS
```

L7        STRUCTURE UPLOADED

=> d 17

L7 HAS NO ANSWERS

L7                    STR



Structure attributes must be viewed using STN Express query preparation.

=> s 17

SAMPLE SEARCH INITIATED 00:58:28 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED -        15 TO ITERATE

100.0% PROCESSED        15 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

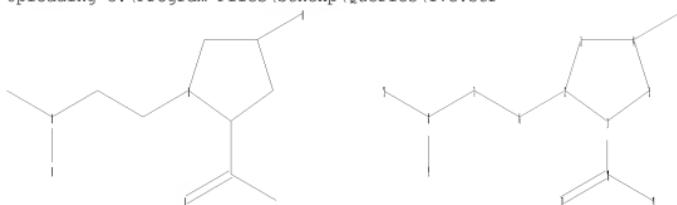
FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*  
                            BATCH    \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:        68 TO        532  
PROJECTED ANSWERS:            0 TO        0

L8

0 SEA SSS SAM L7

=>

Uploading C:\Program Files\Stnexp\Queries\IVc.str



chain nodes :

6 7 8 9 10 11 12 13 14

ring nodes :

1 2 3 4 5

chain bonds :

1-10 2-6 4-12 6-7 7-8 8-9 8-13 10-11 10-14

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 2-6 3-4 4-5 4-12 7-8 8-13 10-11

exact bonds :

1-10 6-7 8-9 10-14

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS

11:CLASS 12:CLASS 13:CLASS 14:CLASS

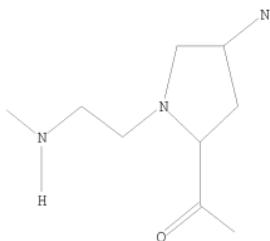
L9

STRUCTURE UPLOADED

=> d 19

L9 HAS NO ANSWERS

L9 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 19  
SAMPLE SEARCH INITIATED 01:01:04 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 88 TO ITERATE

100.0% PROCESSED 88 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1198 TO 2322  
PROJECTED ANSWERS: 0 TO 0

L10 0 SEA SSS SAM L9

=> fil hcap  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
15.34 15.76

FILE 'HCAPLUS' ENTERED AT 01:01:12 ON 08 MAY 2008  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907 - 8 May 2008 VOL 148 ISS 19  
FILE LAST UPDATED: 7 May 2008 (20080507/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 19  
REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 01:01:17 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 88 TO ITERATE

100.0% PROCESSED 88 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1198 TO 2322  
PROJECTED ANSWERS: 0 TO 0

L11 0 SEA SSS SAM L9

L12 0 L11

=> d his

(FILE 'HOME' ENTERED AT 00:42:49 ON 08 MAY 2008)

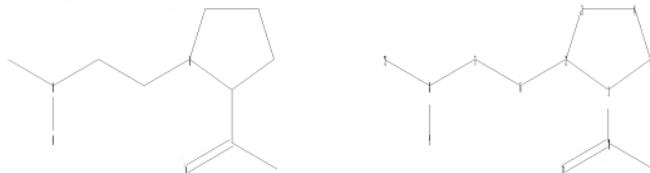
FILE 'REGISTRY' ENTERED AT 00:43:59 ON 08 MAY 2008  
L1 STRUCTURE uploaded  
L2 0 S L1  
L3 STRUCTURE uploaded  
L4 50 S L3  
L5 STRUCTURE uploaded  
L6 0 S L5  
L7 STRUCTURE uploaded  
L8 0 S L7  
L9 STRUCTURE uploaded  
L10 0 S L9

FILE 'HCAPLUS' ENTERED AT 01:01:12 ON 08 MAY 2008  
S L9

FILE 'REGISTRY' ENTERED AT 01:01:17 ON 08 MAY 2008  
L11 0 S L9

FILE 'HCAPLUS' ENTERED AT 01:01:17 ON 08 MAY 2008  
L12 0 S L11

=>  
Uploading C:\Program Files\Stnexp\Queries\ivd.str



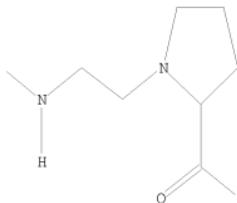
chain nodes :  
6 7 8 9 10 11 12 13  
ring nodes :  
1 2 3 4 5  
chain bonds :  
1-10 2-6 6-7 7-8 8-9 8-12 10-11 10-13  
ring bonds :  
1-2 1-5 2-3 3-4 4-5  
exact/norm bonds :  
1-2 1-5 2-3 2-6 3-4 4-5 7-8 8-12 10-11  
exact bonds :

1-10 6-7 8-9 10-13

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS

L13 STRUCTURE UPLOADED

=> d 113  
L13 HAS NO ANSWERS  
L13 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 113  
REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 01:05:05 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 5464 TO ITERATE

36.6% PROCESSED 2000 ITERATIONS 4 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 104848 TO 113712  
PROJECTED ANSWERS: 20 TO 416

L14 4 SEA SSS SAM L13

L15 4 L14

=> d 1-4

L15 ANSWER 1 OF 4 HCPLUS COPYRIGHT 2008 ACS on STN  
AN 1996:592182 HCPLUS <>LOGINID::20080508>>  
DN 125:301555  
TI Poststatin, a new inhibitor of prolyl endopeptidase. VII.  
N-cycloalkylamide analogs  
AU Tsuda, Makoto; Muraoka, Yasuhiko; Nagai, Machiko; Aoyagi, Takaaki;  
Takeuchi, Tomio  
CS Inst. Microbial Chem., Tokyo, 141, Japan  
SO Journal of Antibiotics (1996), 49(9), 909-920  
CODEN: JANTAJ; ISSN: 0021-8820  
PB Japan Antibiotics Research Association  
DT Journal  
LA English

L15 ANSWER 2 OF 4 HCPLUS COPYRIGHT 2008 ACS on STN  
AN 1992:236174 HCPLUS <>LOGINID::20080508>>  
DN 116:236174  
OREF 116:40037a,40040a  
TI Preparation of pyrrolidinyl(oxo)acetyl- and piperidinyl(oxo)acetyl-  
containing peptides as prolyl endopeptidase inhibitors  
IN Someno, Tetsuya; Yamada, Fumika; Sugimura, Hideo; Muraoka, Yasuhiko;  
Tsuda, Makoto; Takeuchi, Tomio; Aoyagi, Takaaki  
PA Nippon Kayaku Co., Ltd., Japan  
SO Eur. Pat. Appl., 30 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 468339	A2	19920129	EP 1991-111819	19910716
EP 468339	A3	19930217		
EP 468339	B1	19970409		
R: DE, ES, FR, GB, IT, SE				
JP 04211648	A	19920803	JP 1991-57753	19910301
US 5221752	A	19930622	US 1991-729419	19910712
ES 2102989	T3	19970816	ES 1991-111819	19910716
JP 05221967	A	19930831	JP 1991-205599	19910723
PRAI JP 1990-197753	A	19900727		
JP 1991-57753	A	19910301		
OS MARPAT 116:236174				

L15 ANSWER 3 OF 4 HCPLUS COPYRIGHT 2008 ACS on STN  
AN 1991:467376 HCPLUS <>LOGINID::20080508>>  
DN 115:67376  
OREF 115:11523a,11526a  
TI Inactivation of prolyl endopeptidase by a peptidylchloromethane. Kinetics  
of inactivation and identification of sites of modification  
AU Stone, Stuart R.; Rennex, Denise; Wikstrom, Peter; Shaw, Elliott;  
Hofsteenge, Jan  
CS Friedrich Miescher-Inst., Basel, CH-4002, Switz.  
SO Biochemical Journal (1991), 276(3), 837-40  
CODEN: BIJOAK; ISSN: 0306-3275  
DT Journal  
LA English

L15 ANSWER 4 OF 4 HCPLUS COPYRIGHT 2008 ACS on STN  
AN 1990:632069 HCPLUS <>LOGINID::20080508>>  
DN 113:232069  
OREF 113:39177a,39180a

TI Preparation of (methoxysuccinylalanyllysylprolylmethyl)isopropylcarbamates as human leukocyte elastase (HLE) inhibitors  
IN Digenis, George A.; Agha, Bushra J.; Khouri, Charles  
PA University of Kentucky Research Foundation, USA  
SO PCT Int. Appl., 171 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9004409	A1	19900503	WO 1989-US4833	19891027
W: AU, JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 5008245	A	19910416	US 1988-263385	19881027
US 5922319	A	19900713	US 1989-409894	19890920
CA 2001629	A1	19900427	CA 1989-2001629	19891027
EP 367514	A2	19900509	EP 1989-311130	19891027
EP 367514	A3	19910522		
R: ES, GR				
AU 8945110	A	19900514	AU 1989-45110	19891027
AU 629259	B2	19921001		
EP 396709	A1	19900114	EP 1989-912611	19891027
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03503055	T	19910711	JP 1989-511609	19891027
PRAI US 1988-263385	A	19881027		
US 1989-409894	A	19890920		
WO 1989-US4833	A	19891027		
OS MARPAT 113:232069				

=> d 1

L15 ANSWER 1 OF 4 HCPLUS COPYRIGHT 2008 ACS on STN  
AN 1996:592182 HCPLUS <>LOGINID::20080508>>  
DN 125:301555  
TI Poststatin, a new inhibitor of prolyl endopeptidase. VII.  
N-cycloalkylamide analogs  
AU Tsuda, Makoto; Murakoa, Yasuhiko; Nagai, Machiko; Aoyagi, Takaaki;  
Takeuchi, Tomio  
CS Inst. Microbial Chem., Tokyo, 141, Japan  
SO Journal of Antibiotics (1996), 49(9), 909-920  
CODEN: JANTAJ; ISSN: 0021-8820  
PB Japan Antibiotics Research Association  
DT Journal  
LA English

=> d 2

L15 ANSWER 2 OF 4 HCPLUS COPYRIGHT 2008 ACS on STN  
AN 1992:236174 HCPLUS <>LOGINID::20080508>>  
DN 116:236174  
OREF 116:40037a,40040a  
TI Preparation of pyrrolidinyl(oxo)acetyl- and piperidinyl(oxo)acetyl- containing peptides as prolyl endopeptidase inhibitors  
IN Someno, Tetsuya; Yamada, Fumika; Sugimura, Hideo; Murakoa, Yasuhiko;  
Tsuda, Makoto; Takeuchi, Tomio; Aoyagi, Takaaki  
PA Nippon Kayaku Co., Ltd., Japan  
SO Eur. Pat. Appl., 30 pp.  
CODEN: EPXXDW

DT Patent  
LA English  
FAN.CNT 1

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	EP 468339	A2	19920129	EP 1991-111819	19910716
	EP 468339	A3	19930217		
	EP 468339	B1	19970409		
	R: DE, ES, FR, GB, IT, SE				
	JP 04211648	A	19920803	JP 1991-57753	19910301
	US 5221752	A	19930622	US 1991-729419	19910712
	ES 2102989	T3	19970816	ES 1991-111819	19910716
	JP 05221967	A	19930831	JP 1991-205599	19910723
PRAI	JP 1990-197753	A	19900727		
	JP 1991-57753	A	19910301		
OS	MARPAT 116:236174				

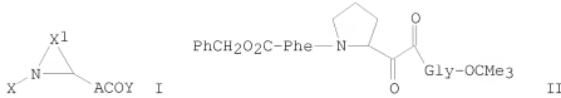
=> d 2 ibib

L15 ANSWER 2 OF 4 HCPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1992:236174 HCPLUS <<LOGINID::20080508>>  
DOCUMENT NUMBER: 116:236174  
ORIGINAL REFERENCE NO.: 116:40037a,40040a  
TITLE: Preparation of pyrrolidinyl(oxo)acetyl- and  
piperidinyl(oxo)acetyl-containing peptides as prolyl  
endopeptidase inhibitors  
INVENTOR(S): Someno, Tetsuya; Yamada, Fumika; Sugimura, Hideo;  
Muraoka, Yasuhiko; Tsuda, Makoto; Takeuchi, Tomio;  
Aoyagi, Takaaki  
PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 30 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

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EP	468339	A2	19920129	EP 1991-111819	19910716
	EP 468339	A3	19930217		
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	JP 04211648	A	19920803	JP 1991-57753	19910301
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	ES 2102989	T3	19970816	ES 1991-111819	19910716
	JP 05221967	A	19930831	JP 1991-205599	19910723
PRIORITY APPLN. INFO.:				JP 1990-197753	A 19900727
				JP 1991-57753	A 19910301
OTHER SOURCE(S):	MARPAT 116:236174				

=> d 2 abs hitind hitstr

L15 ANSWER 2 OF 4 HCPLUS COPYRIGHT 2008 ACS on STN  
GI



**AB** Title compds. [I; X = H, protecting group, (protected) amino acid or peptide residue; Y = (protected) amino acid or peptide residue; X1 = CnH2n-1E; E = H, halo, alkoxy; n = 2-6; A = CO, HO-, alkoxy-PhNH-, or PhCH2NH-substituted methylene], were prepared. Thus, 2-hydroxy-2-(N-benzyloxycarbonylpyrrolidin-2-yl)acetic acid and glycine tert-Bu ester hydrochloride were condensed in CH2Cl2 using hydroxybenzotriazole, DCC, and Et3N. The product was hydrogenated followed by condensation with N-benzyloxycarbonylphenylalanine N-hydroxysuccinimide ester to give N-benzyloxycarbonylphenylalanyl-[2-hydroxy-2-(pyrrolidin-2-yl)acetyl]glycine tert-Bu ester. The product was oxidized with pyridine.CF3CO2H, DCC, and Me2SO to give the 2-oxo derivative II. This inhibited prolyl endopeptidase with IC50 = 0.0008 µg/mL.

**IC** ICM C07K005-02

**ICS** C07K007-02; A61K037-64; C07D207-08; C07D211-34; A61K031-445;  
A61K031-40

**CC** 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

**IT** 141403-59-0P 141403-60-3P 141403-61-4P 141403-62-5P 141403-63-6P  
141403-64-7P 141403-65-8P 141403-66-9P 141403-67-0P 141403-68-1P  
141403-69-2P 141403-70-5P 141403-71-6P 141403-72-7P  
141403-73-8P 141403-74-9P 141403-75-0P 141403-76-1P 141403-77-2P  
141403-78-3P 141403-79-4P 141403-80-7P 141403-81-8P 141420-03-3P  
141446-46-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as prolyl endopeptidase inhibitor)

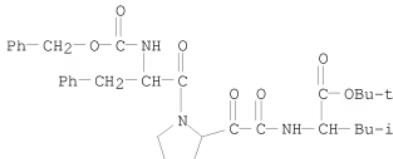
**IT** 141403-72-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as prolyl endopeptidase inhibitor)

**RN** 141403-72-7 HCPLUS

**CN** D-Leucine, N-[oxo[1-[1-oxo-3-phenyl-2-[(phenylmethoxy)carbonyl]amino]propyl]-2-pyrrolidinyl]acetyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 00:42:49 ON 08 MAY 2008)

FILE 'REGISTRY' ENTERED AT 00:43:59 ON 08 MAY 2008  
L1           STRUCTURE uploaded  
L2        0 S L1  
L3        STRUCTURE uploaded  
L4        50 S L3  
L5        STRUCTURE uploaded  
L6        0 S L5  
L7        STRUCTURE uploaded  
L8        0 S L7  
L9        STRUCTURE uploaded  
L10      0 S L9

FILE 'HCAPLUS' ENTERED AT 01:01:12 ON 08 MAY 2008  
S L9

FILE 'REGISTRY' ENTERED AT 01:01:17 ON 08 MAY 2008  
L11      0 S L9  
FILE 'HCAPLUS' ENTERED AT 01:01:17 ON 08 MAY 2008  
L12      0 S L11  
L13      STRUCTURE uploaded  
S L13

FILE 'REGISTRY' ENTERED AT 01:05:05 ON 08 MAY 2008  
L14      4 S L13

FILE 'HCAPLUS' ENTERED AT 01:05:05 ON 08 MAY 2008  
L15      4 S L14

=> s 11 13 15 17 19  
REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 01:15:51 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 23635 TO ITERATE

8.5% PROCESSED     2000 ITERATIONS                           0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE    \*\*COMPLETE\*\*  
                                  BATCH    \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:     463499 TO    481901  
PROJECTED ANSWERS:        0 TO        0

L16           0 SEA SSS SAM L1

L17           0 L16

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 01:15:51 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 2973 TO ITERATE

67.3% PROCESSED 2000 ITERATIONS 50 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 56190 TO 62730  
PROJECTED ANSWERS: 8482 TO 11138

L18 50 SEA SSS SAM L3

L19 47 L18

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 01:15:53 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 4 TO 200  
PROJECTED ANSWERS: 0 TO 0

L20 0 SEA SSS SAM L5

L21 0 L20

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 01:15:54 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 15 TO ITERATE

100.0% PROCESSED 15 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 68 TO 532  
PROJECTED ANSWERS: 0 TO 0

L22 0 SEA SSS SAM L7

L23 0 L22

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 01:15:55 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 88 TO ITERATE

100.0% PROCESSED 88 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

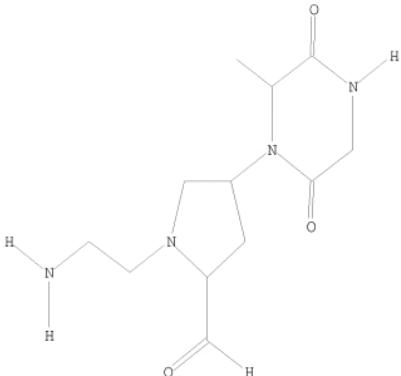
FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1198 TO 2322  
PROJECTED ANSWERS: 0 TO 0

L24 0 SEA SSS SAM L9

L25 0 L24

MISSING OPERATOR L17 L19  
The search profile that was entered contains terms or  
nested terms that are not separated by a logical operator.

=> d 16  
L6 HAS NO ANSWERS  
L5 STR



Structure attributes must be viewed using STN Express query preparation.  
L6  
0 SEA FILE=REGISTRY SSS SAM L5

=> d 11 12 13 15 16 17 18 19 110 111 112 13

L2 IS NOT VALID HERE

For an explanation, enter "HELP DISPLAY".

=> endf

ENDF IS NOT A RECOGNIZED COMMAND

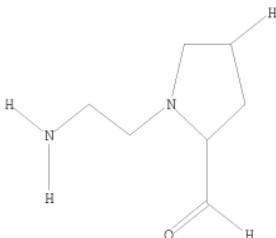
The previous command name entered was not recognized by the system.

For a list of commands available to you in the current file, enter  
"HELP COMMANDS" at an arrow prompt (>).

=> d 11

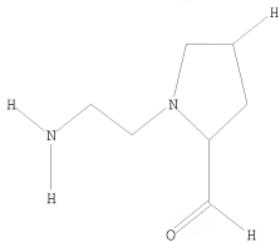
L1 HAS NO ANSWERS

L1 STR



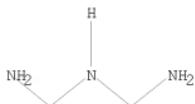
Structure attributes must be viewed using STN Express query preparation.

=> d 12  
L2 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.  
L2 0 SEA FILE=REGISTRY SSS SAM L1

=> d 13  
L3 HAS NO ANSWERS  
L3 STR



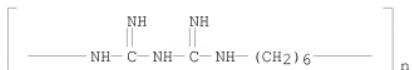
Structure attributes must be viewed using STN Express query preparation.

=> d 14  
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

L4 ANSWER 1 OF 50 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 1017571-63-9 REGISTRY  
ED Entered STN: 27 Apr 2008  
CN Poly(iminocarbonimidoyliminocarbonimidoylimino-1,6-hexanediyil),  
(2E)-2-butenedioate (CA INDEX NAME)  
FS STEREOSEARCH  
MF (C8 H17 N5)n . x C4 H4 O4  
PCT Polyether, Polyether only  
SR CA  
LC STN Files: CA, CAPLUS

CM 1

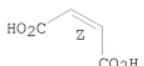
CRN 28757-47-3  
CMF (C<sub>8</sub> H<sub>17</sub> N<sub>5</sub>)<sub>n</sub>  
CCI PMS



CM 2

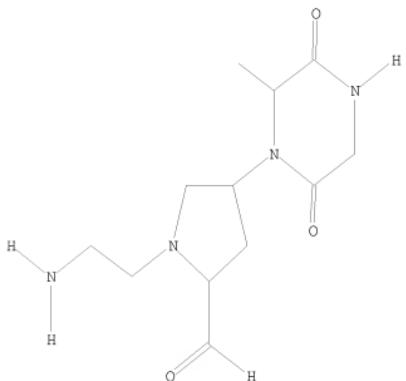
CRN 110-16-7  
CMF C<sub>4</sub> H<sub>4</sub> O<sub>4</sub>

Double bond geometry as shown.



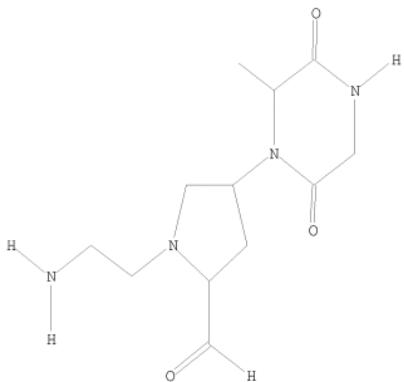
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 15  
L5 HAS NO ANSWERS  
L5 STR



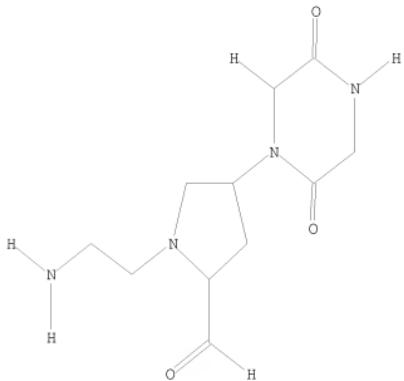
Structure attributes must be viewed using STN Express query preparation.

=> d 16  
L6 HAS NO ANSWERS  
L5 STR



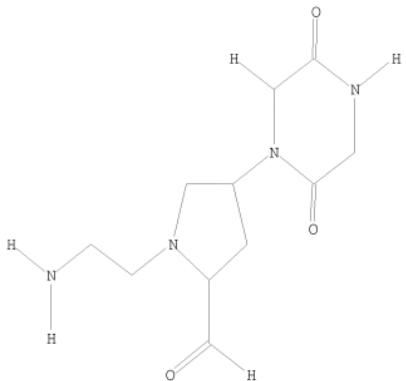
Structure attributes must be viewed using STN Express query preparation.  
L6 0 SEA FILE=REGISTRY SSS SAM L5

=> d 17  
L7 HAS NO ANSWERS  
L7 STR



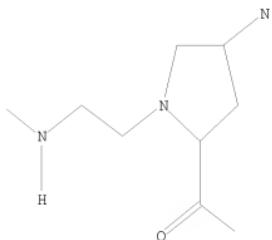
Structure attributes must be viewed using STN Express query preparation.

=> d 18  
L8 HAS NO ANSWERS  
L7 STR



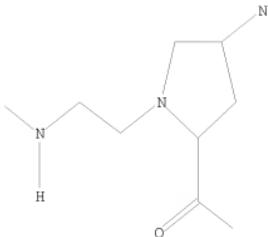
Structure attributes must be viewed using STN Express query preparation.  
L8 0 SEA FILE=REGISTRY SSS SAM L7

=> d 19  
L9 HAS NO ANSWERS  
L9 STR



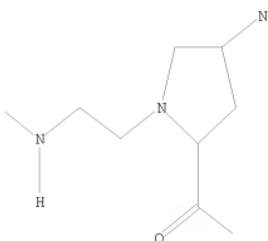
Structure attributes must be viewed using STN Express query preparation.

=> d l10  
L10 HAS NO ANSWERS  
L9 STR



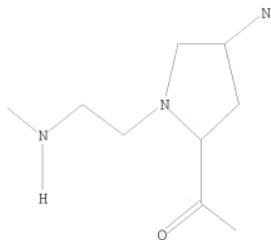
Structure attributes must be viewed using STN Express query preparation.  
L10 0 SEA FILE=REGISTRY SSS SAM L9

=> d l11  
L11 HAS NO ANSWERS  
L9 STR



Structure attributes must be viewed using STN Express query preparation.  
L11 0 SEA FILE=REGISTRY SSS SAM L9

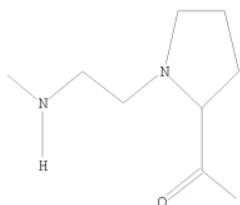
=> d l12  
L12 HAS NO ANSWERS  
L9 STR



Structure attributes must be viewed using STN Express query preparation.

L11            0 SEA FILE=REGISTRY SSS SAM L9  
L12            0 SEA FILE=HCAPLUS PLU=ON L11

=> d l13  
L13 HAS NO ANSWERS  
L13            STR

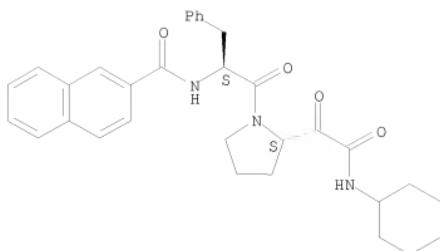


Structure attributes must be viewed using STN Express query preparation.

=> d l14  
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

L14 ANSWER 1 OF 4 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 182814-75-1 REGISTRY  
ED Entered STN: 07 Nov 1996  
CN 2-Pyrrolidineacetamide, N-cyclohexyl-1-[2-[(2-naphthalenylcarbonyl)amino]-1-oxo-3-phenylpropyl]- $\alpha$ -oxo-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C32 H35 N3 O4  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (-).

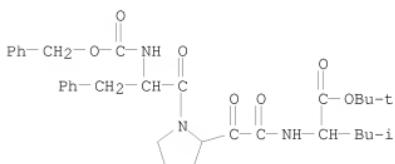


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d l14 2  
 YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

L14 ANSWER 2 OF 4 REGISTRY COPYRIGHT 2008 ACS on STN  
 RN 141403-72-7 REGISTRY  
 ED Entered STN: 22 May 1992  
 CN D-Leucine, N-[oxo[1-[1-oxo-3-phenyl-2-[(phenylmethoxy)carbonyl]amino]propyl]-2-pyrrolidinyl]acetyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX  
 NAME)  
 MF C33 H43 N3 O7  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL



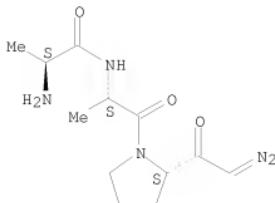
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 114 3  
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

L14 ANSWER 3 OF 4 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 135251-81-9 REGISTRY  
ED Entered STN: 02 Aug 1991  
CN Propanamide, 2-amino-N-[2-[2-(diazoacetyl)-1-pyrrolidinyl]-1-methyl-2-oxoethyl]-, [2S-[1R\*(R\*)],2R\*]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C12 H19 N5 O3  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

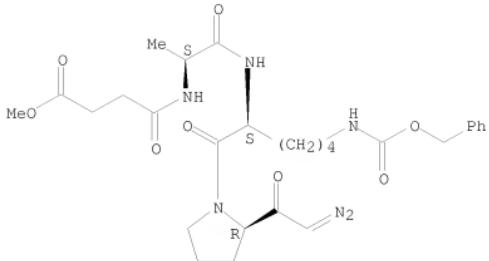


1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 114 4  
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

L14 ANSWER 4 OF 4 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 130508-00-8 REGISTRY  
ED Entered STN: 16 Nov 1990  
CN Butanoic acid, 4-[[2-[[1-[[2-(diazoacetyl)-1-pyrrolidinyl]carbonyl]-5-[(phenylmethoxy)carbonyl]amino]pentyl]amino]-1-methyl-2-oxoethyl]amino]-4-oxo-, methyl ester, [2R-[1(S\*(S\*)),2R\*]]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C28 H38 N6 O8  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

```
=> fil log off
'LOG' IS NOT A VALID FILE NAME
Enter "HELP FILE NAMES" at an arrow prompt (>) for a list of files
that are available. If you have requested multiple files, you can
specify a corrected file name or you can enter "IGNORE" to continue
accessing the remaining file names entered.
ENTER A FILE NAME OR (IGNORE):end
```

```
=> end
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y
COST IN U.S. DOLLARS
          SINCE FILE      TOTAL
          ENTRY           SESSION
FULL ESTIMATED COST          40.35      184.23
```